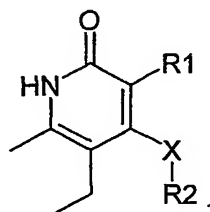


CLAIMS

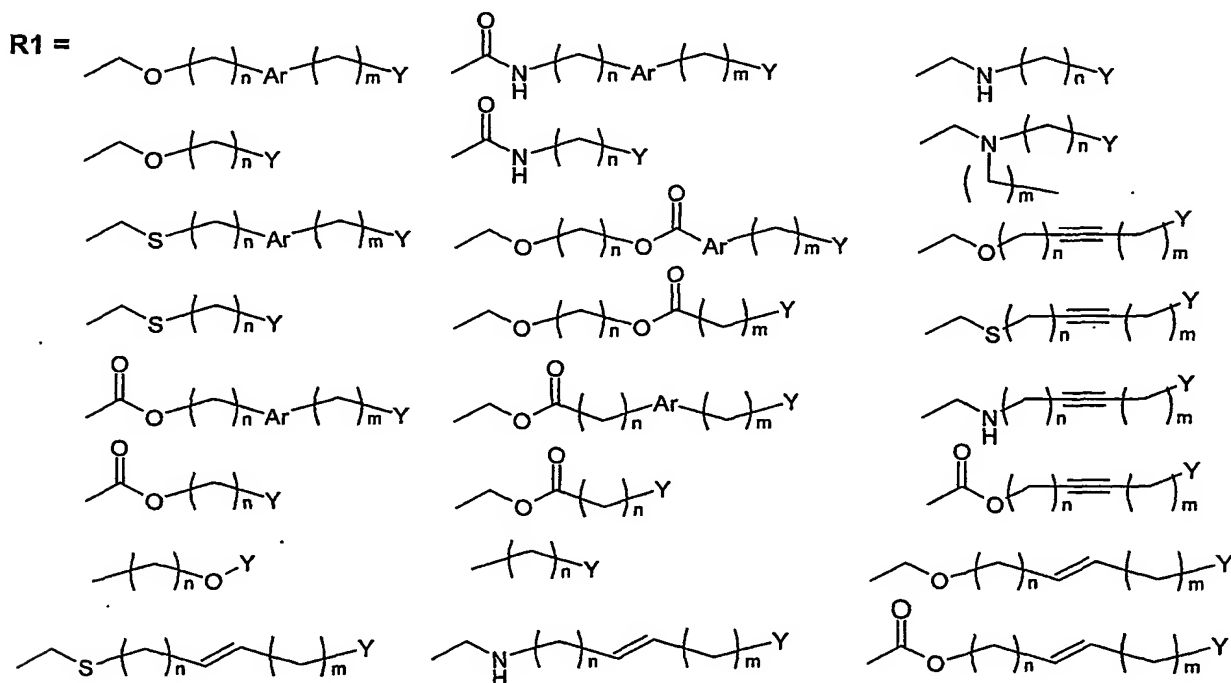
1. A 5-ethyl-6-methyl-2-pyridinone derivative compound according to general formula I,



(formula I)

5 wherein

X = O, S, NH, C=O, (C<sub>n</sub>H<sub>2n</sub>), (C<sub>n</sub>H<sub>2n</sub>)O, O(C<sub>n</sub>H<sub>2n</sub>), (C<sub>n</sub>H<sub>2n</sub>)S, S(C<sub>n</sub>H<sub>2n</sub>) with n = 1-4

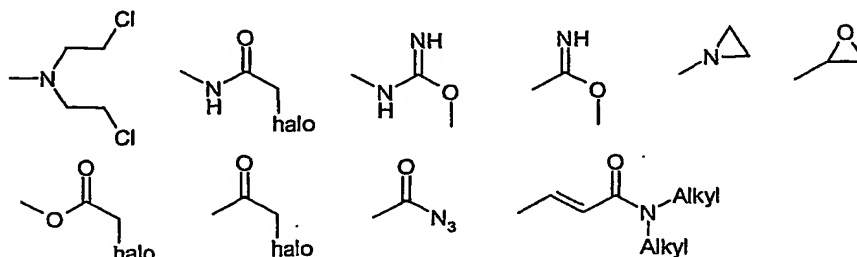


with n, m = 0 - 8

Ar = Aromatic ring selected from : phenyl, pyridyl, thiazolyl, furanyl, thiophenyl, benzofuranyl, benzothiophenyl, benzothiazolyl, imidazolyl, indolyl, each optionally substituted with up to 4 substituents selected from : halo, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> hydroxyalkyl, C<sub>1-4</sub> alkylamino, amino, C<sub>1-4</sub> aminoalkyl, C<sub>1-4</sub> alkylcarbonyl, C<sub>1-4</sub> dialkylamino, azido

Y = alkyl, amino, nitro or

**Y =** H, halo, alkylamino, dialkylamino, nitrile, hydroxy, C<sub>1-6</sub>alkyloxycarbonyl, C<sub>1-6</sub>alkylcarbonyloxy, C<sub>5-7</sub> cycloalkyl optionally substituted with up to 4 substituents selected from : halo, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> hydroxyalkyl, C<sub>1-4</sub> alkylamino, amino, C<sub>1-4</sub> aminopalkyl, C<sub>1-4</sub> alkylcarbonyl, C<sub>1-4</sub> dialkylamino, azido, nitrile;  
or Y can be :



**R2 = C<sub>7-9</sub> cycloalkyl;**

**C<sub>5-8</sub> cycloalkyl substituted with up to 4 substituents;**

**C<sub>5-8</sub> cycloalkenyl optionally substituted with up to 4 substituents;**

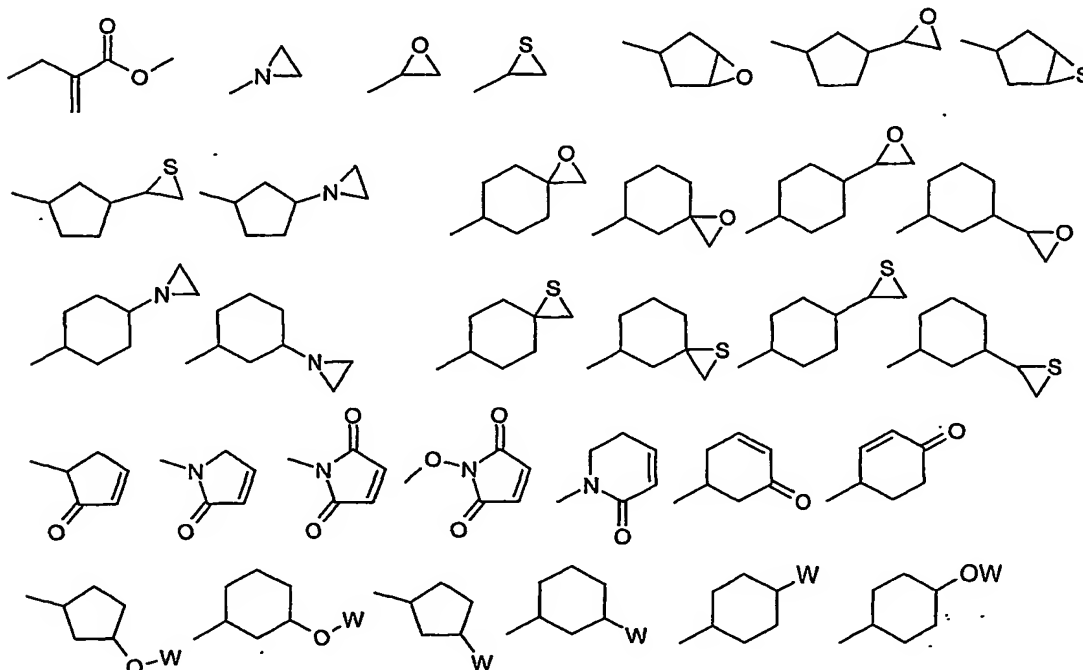
C<sub>5-8</sub> aliphatic heterocycle optionally substituted with up to 4 substituents;

**C<sub>6-9</sub>bridged cycloalkyl optionally substituted with up to 4 substituents;**

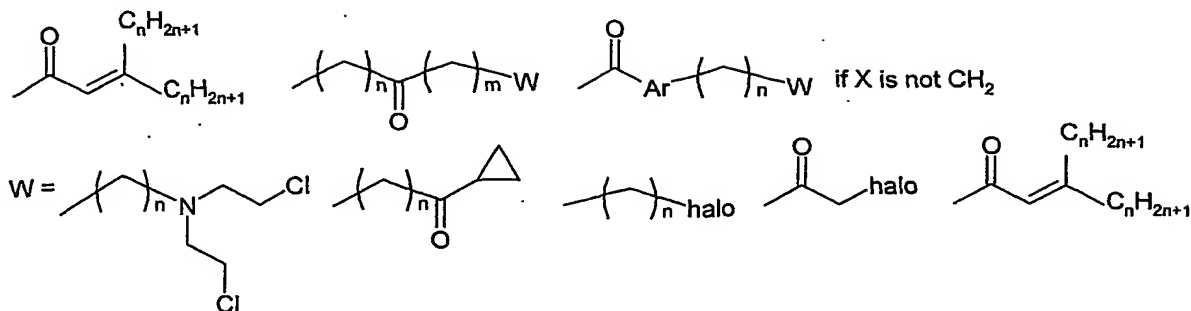
**C<sub>6-9</sub>bridged cycloalkenyl optionally substituted with up to 4 substituents;**

substituents selected from :

halo, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> hydroxyalkyl, C<sub>1-4</sub> alkylamino, amino, C<sub>1-4</sub> aminoalkyl, C<sub>1-4</sub> alkylcarbonyl, C<sub>1-4</sub> dialkylamino, azido, CN;



Or R2 can be :



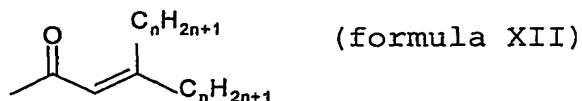
$n, m = 0 - 8$

2. The compound according to claim 1 further characterized in that it has a substituted cycloalkyl group as R2 in position 4 of the pyridinone ring.

5 3. The compound according to claim 2 further characterized in that said substituted cycloalkyl group is a 3,5-dimethylcyclohexyl moiety.

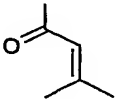
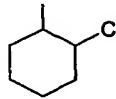
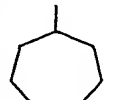
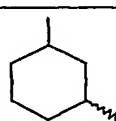
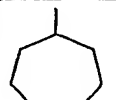
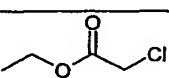
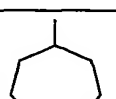
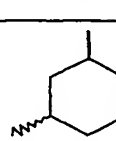
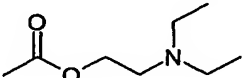
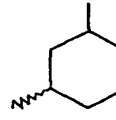
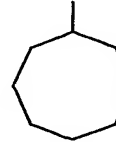
4. The compound according to claim 1 further characterized in that it has a C7-9 cycloalkyl group as R2  
10 in position 4 of the pyridinone ring.

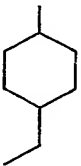

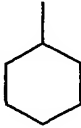
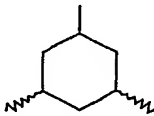
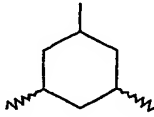
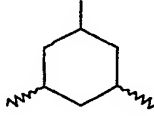
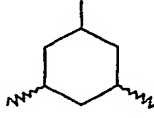
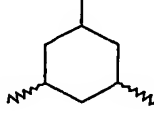
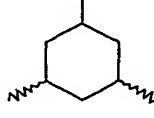
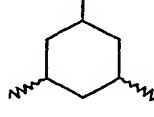
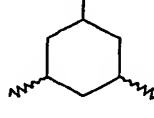
5. The compound according to claim 1 further characterized in that R2 accords to formula XII

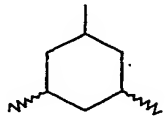
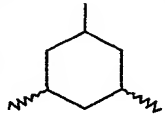


with  $n=0 - 8$ , preferably  $n=0, 1, 2, 3$  or  $4$ , more  
15 preferably  $n=0, 1$ , or  $2$  and most preferably  $n=1$ .

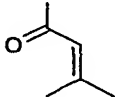
6. The compound according to claim 1  
 selected from the groups consisting of M18, Z12, Z25, Z30,  
 Z32, Z33, Z37, Z37inv, Z53, Z54, Z55, Z57, Z45inv, Z91inv,  
 Z96inv, Z114, Z121, Z122, Z150, Z153, Z154 and Z167,  
 5 wherein X, R1 and R2 are as indicated below:

N°	X	R1	R2
M18	O	CO <sub>2</sub> Et	
Z12	O	CO <sub>2</sub> Et	
Z25	O	CO <sub>2</sub> Et	
Z30	O	CO <sub>2</sub> Et	
Z32	O	CH <sub>2</sub> OH	
Z33	O		
Z37	O	CO <sub>2</sub> Et	
Z53	O		
Z54	O	CO <sub>2</sub> Et	

Z55	O	CO <sub>2</sub> Et	
Z57		CO <sub>2</sub> Et	
Z45inv	O	CH <sub>2</sub> OH	
Z91inv	O	NO <sub>2</sub>	
Z96inv	O	NH <sub>2</sub>	
Z114	O	CH <sub>2</sub> SCOMe	
Z121	O	CH <sub>2</sub> S(CH <sub>2</sub> ) <sub>2</sub> OH	
Z122	O	CH <sub>3</sub> S(CH <sub>2</sub> ) <sub>2</sub> OCOCH <sub>2</sub> Cl	
Z150	O	NMe <sub>2</sub>	
Z153	O	CH <sub>2</sub> N <sub>3</sub>	

Z154	O	Me	
Z167	O	Et	

7. A compound (M18) according to claim 1,

with X = O, R1 = CO<sub>2</sub>Et and R2 = .

8. A pharmaceutical composition comprising at least one the compounds according to any of claims 1 to 5 7 and an acceptable carrier and/or diluent.

9. The composition according to claim 8 further comprising another anti-viral agent.

10. The composition according to claim 9, characterized in that said anti-viral agent is Nevirapine.

11. Use of the compound or the composition according to any of the preceding claims 1 to 10 for the preparation of a medicament in the treatment and/or the prevention of HIV-1 infections.

12. The use according to the claim 11 for the preparation of a medicament for the treatment and/or prevention of HIV-1 infections by a strain resistant to at least one anti-viral agent.

13. The use of claim 12 wherein said anti-viral agent is Nevirapine.

14. A method for obtaining an irreversible anti-HIV-1 compound, which method comprises the steps of:

- selecting an anti-HIV-1 compound, preferably a NNRTI, that interacts with a binding site of an HIV-1 enzyme,
- introducing a chemical modification in the structure of said anti-HIV-1 compound that allows the formation

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of at least one covalent bond between the compound and an amino acid of said HIV-1 enzyme.

15. The method of claim 14, wherein the HIV I binding site is the allosteric site of HIV I reverse transcriptase.

16. An irreversible NNRTI obtainable by said method.

17. The irreversible NNRTI according to claim 16 which is a compound (Z122) according to formula I with X

10 = O, R1 = CH<sub>2</sub>S(CH<sub>2</sub>)<sub>2</sub>OCOCH<sub>2</sub>Cl and R2 =

